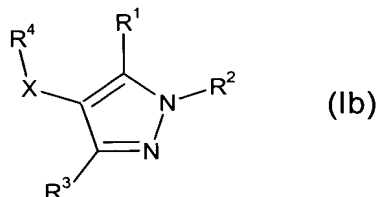


B 1

--76. (Amended)

A compound of the formula Ib



or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R^1 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, halo, -CN, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CO-(C_1 - C_6 alkylene)-OR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁸R⁹, -NR⁵COR⁵, -NR⁵COR⁶, -NR⁵COR⁸, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶ and

R^2 is -Y-Z,

or, R^1 and R^2 , when taken together, represent unbranched C_3 - C_4 alkylene, optionally wherein one methylene group of said C_3 - C_4 alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R⁵ or R⁸,

and R^3 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, -CN, halo, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶,

or (ii) R^1 and R^3 are each independently C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl or halo-(C_1 - C_6 alkyl), and R^2 is H,

provided that

(a) for definition (i), R^1 and R^3 are not both H,

(b) for definition (i), R^1 and R^3 are not both optionally substituted phenyl, as defined therein,

(c) for definition (i), when R^1 and R^3 are both methyl, R^2 is not phenyl or methyl,
and

134 (d) for definition (ii), R^1 and R^3 are not both methyl;

Y is a direct bond or C_1 - C_3 alkylene;

Z is R^{10} or, where Y is C_1 - C_3 alkylene, Z is $-NR^5COR^{10}$, $-NR^5CONR^5R^{10}$, $-NR^5CONR^5COR^{10}$ or $-NR^5SO_2R^{10}$;

R^4 is phenyl or pyridyl, each substituted by at least one substituent selected from halo, $-CN$, C_1 - C_6 alkyl, fluoro- (C_1-C_6) -alkyl, C_3 - C_7 cycloalkyl and C_1 - C_6 alkoxy;

each R^5 is independently either H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent piperidinyl said piperidinyl being optionally substituted by C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl;

R^6 is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by $-OR^5$, $-NR^5R^5$, $-CN$, oxo, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, $-COR^7$ or halo;

R^7 is C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl, phenyl or benzyl;

R^8 is C_1 - C_6 alkyl substituted by phenyl, pyridyl or pyrimidinyl, said phenyl, pyridyl and pyrimidinyl being optionally substituted by halo, $-CN$, $-CONR^5R^5$, $-SO_2NR^5R^5$, $-NR^5SO_2R^7$, $-NR^5R^5$, $-(C_1-C_6 \text{ alkylene})-NR^5R^5$, C_1 - C_6 alkyl, fluoro- (C_1-C_6) -alkyl, C_3 - C_7 cycloalkyl or C_1 - C_6 alkoxy;

R^9 is H, C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl, said C_1 - C_6 alkyl and C_3 - C_7 cycloalkyl being optionally substituted by $-OR^5$, $-NR^5R^5$, $-NR^5COR^5$, $-CONR^5R^5$ or R^6 ;

R^{10} is (a) benzyl or C-linked R^6 , said benzyl being optionally substituted by halo, $-OR^5$, $-OR^{12}$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6 , or (b) when R^1 and R^3 are each

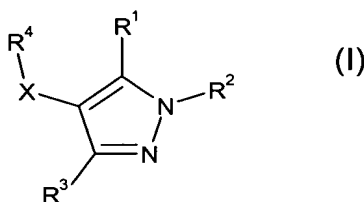
$\beta 2$ independently C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl or halo- $(C_1$ - C_6 alkyl), R^{10} is phenyl, C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl each being optionally substituted by halo, $-OR^5$, $-OR^{12}$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6 ;

X is $-CH_2-$, $-CHR^{11}-$, $-CO-$, $-S-$, $-SO-$ or $-SO_2-$;

R^{11} is C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- $(C_1$ - $C_6)$ -alkyl or C_1 - C_6 alkoxy; and

R^{12} is C_1 - C_6 alkyl substituted by R^6 , $-OR^5$, $-CONR^5R^5$, $-NR^5COR^5$ or $-NR^5R^5$...

$\beta 2$ --119. (Amended) A method for the treatment of a human immunodeficiency viral (HIV), a genetically related retroviral infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of the formula (I)



or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R^1 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, halo, $-CN$, $-OR^7$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-NR^5CO-(C_1$ - C_6 alkylene)- OR^5 , $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 , said C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, $-CN$, $-OR^5$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^8R^9$, $-NR^5COR^5$, $-NR^5COR^6$, $-NR^5COR^8$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 , and

R^2 is H or $-Y-Z$,

or, (ii) R^1 and R^2 , when taken together, represent unbranched C_3 - C_4 alkylene, optionally wherein one methylene group of said C_3 - C_4 alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R^5 or R^8 ;

Y is a direct bond or C₁-C₃ alkylene;

Z is R¹⁰ or, where Y is C₁-C₃ alkylene, Z is -NR⁵COR¹⁰, -NR⁵CONR⁵R¹⁰, -NR⁵CONR⁵COR¹⁰ or -NR⁵SO₂R¹⁰;

132 R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, -CN, halo, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶;

R⁴ is phenyl or pyridyl, each being optionally substituted by R⁶, halo, -CN, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

each R⁵ is independently either H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent piperidinyl, said piperidinyl being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;

R⁶ is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by -OR⁵, -NR⁵R⁵, -CN, oxo, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, -COR⁷ or halo;

R⁷ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl, phenyl or benzyl;

R⁸ is C₁-C₆ alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, -CONR⁵R⁵, -SO₂NR⁵R⁵, -NR⁵SO₂R⁷, -NR⁵R⁵, -(C₁-C₆ alkylene)-NR⁵R⁵, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

R⁹ is H, C₁-C₆ alkyl or C₃-C₇ cycloalkyl, said C₁-C₆ alkyl and C₃-C₇ cycloalkyl being optionally substituted by -OR⁵, -NR⁵R⁵, -NR⁵COR⁵, -CONR⁵R⁵ or R⁶;

R¹⁰ is C₁-C₆ alkyl, C₃-C₆ alkenyl, C₃-C₆ alkynyl, C₃-C₇ cycloalkyl, phenyl, benzyl or C-linked R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally

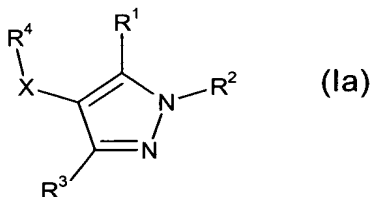
substituted by halo, $-OR^5$, $-OR^{12}$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6 ;

X is $-CH_2-$, $-CHR^{11}-$, $-CO-$, $-S-$, $-SO-$ or $-SO_2-$;

R^{11} is C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- $(C_1$ - $C_6)$ -alkyl or C_1 - C_6 alkoxy; and

R^{12} is C_1 - C_6 alkyl substituted by R^6 , $-OR^5$, $-CONR^5R^5$, $-NR^5COR^5$ or $-NR^5R^5$.--

--149. (Amended) A method for the treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of formula (Ia)



or a pharmaceutically acceptable salt or solvate thereof, wherein:

R^1 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, halo, $-OR^5$, $-CO_2R^5$, $-CONR^5R^6$, $-OCONR^5R^6$, $-NR^5CO_2R^6$, $-NR^5R^6$, $-NR^5COR^6$, $-SO_2NR^5R^6$, $-NR^5CONR^6R^7$, $-NR^5SO_2R^6$ or R^8 , said C_1 - C_6 alkyl, phenyl and benzyl being optionally substituted by halo, $-OR^5$, $-CO_2R^5$, $-CONR^5R^6$, $-OCONR^5R^6$, $-NR^5CO_2R^6$, $-NR^5R^6$, $-NR^5COR^6$, $-SO_2NR^5R^6$, $-NR^5CONR^6R^7$, $-NR^5SO_2R^6$ or R^8 ;

R^2 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl or C-linked R^{12} , said C_1 - C_6 alkyl, phenyl and benzyl being optionally substituted by $-OR^9$, $-CO_2R^9$, $-CO_2NR^9R^{10}$, $-NR^9R^{10}$, $-NR^9COR^{10}$, $-NR^9CO_2R^{10}$, $-NR^9CONR^{10}R^{11}$, $-SO_2NR^9R^{10}$, $-NR^9SO_2R^{10}$ or R^{12} ;

R^3 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, halo, $-OR^{13}$, $-CO_2R^{13}$, $-CONR^{13}R^{14}$, $-OCONR^{13}R^{14}$, $-NR^{13}CO_2R^{14}$, $-NR^{13}R^{14}$, $-NR^{13}COR^{14}$, $-SO_2NR^{13}R^{14}$, $-NR^{13}CONR^{14}R^{15}$, $-NR^{13}SO_2R^{14}$ or R^{16} , said C_1 - C_6 alkyl, phenyl and benzyl being optionally

substituted by halo, $-OR^{13}$, $-CO_2R^{13}$, $-CONR^{13}R^{14}$, $-OCONR^{13}R^{14}$, $-NR^{13}CO_2R^{14}$, $-NR^{13}R^{14}$, $-NR^{13}COR^{14}$, $-SO_2NR^{13}R^{14}$, $-NR^{13}CONR^{14}R^{15}$, $-NR^{13}SO_2R^{14}$ or R^{16} ;

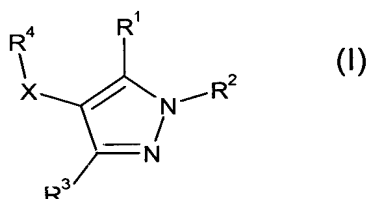
B3 R^4 is phenyl or pyridyl, each being optionally substituted by halo, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_7 cycloalkyl or C_1 - C_6 alkoxy;

R^5 , R^6 , R^7 , R^9 , R^{10} , R^{11} , R^{13} , R^{14} and R^{15} are either each H, C_1 - C_6 alkyl or C_3 - C_6 cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent piperidinyl, said piperidinyl being optionally substituted by C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl;

R^8 , R^{12} and R^{16} are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl or halo; and

X is $-CH_2-$, $-S-$, $-SO-$ or $-SO_2-$.

B4 --150. (Amended) A method for the treatment of a disorder treatable by the inhibition of reverse transcriptase, comprising the administration of an effective amount of a compound of the formula (I),



or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R^1 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, halo, $-CN$, $-OR^7$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-NR^5CO-(C_1-C_6 \text{ alkylene})-OR^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 , said C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, $-CN$, $-OR^5$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^8R^9$, $-NR^5COR^5$, $-NR^5COR^6$, $-NR^5COR^8$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 , and

R^2 is H or $-Y-Z$,

or, (ii) R^1 and R^2 , when taken together, represent unbranched C_3 - C_4 alkylene, optionally wherein one methylene group of said C_3 - C_4 alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R^5 or R^8 ;

cont
P 4 Y is a direct bond or C_1 - C_3 alkylene;

Z is R^{10} or, where Y is C_1 - C_3 alkylene, Z is $-NR^5COR^{10}$, $-NR^5CONR^5R^{10}$, $-NR^5CONR^5COR^{10}$ or $-NR^5SO_2R^{10}$;

R^3 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, $-CN$, halo, $-OR^7$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 , said C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, $-CN$, $-OR^5$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 ;

R^4 is phenyl or pyridyl, each being optionally substituted by R^6 , halo, $-CN$, C_1 - C_6 alkyl, fluoro- (C_1-C_6) -alkyl, C_3 - C_7 cycloalkyl or C_1 - C_6 alkoxy;

each R^5 is independently either H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent piperidinyl, said piperidinyl being optionally substituted by C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl;

R^6 is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by $-OR^5$, $-NR^5R^5$, $-CN$, oxo, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, $-COR^7$ or halo;

R^7 is C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl, phenyl or benzyl;

R^8 is C_1 - C_6 alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, $-CN$, $-CONR^5R^5$, $-SO_2NR^5R^5$, $-NR^5SO_2R^7$, $-NR^5R^5$, $-(C_1-C_6 \text{ alkylene})-NR^5R^5$, C_1 - C_6 alkyl, fluoro- (C_1-C_6) -alkyl, C_3 - C_7 cycloalkyl or C_1 - C_6 alkoxy;

R^9 is H, C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl, said C_1 - C_6 alkyl and C_3 - C_7 cycloalkyl being optionally substituted by $-OR^5$, $-NR^5R^5$, $-NR^5COR^5$, $-CONR^5R^5$ or R^6 ;

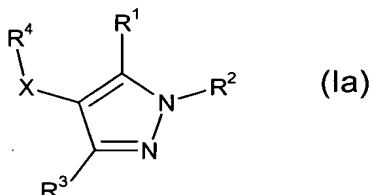
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 R^{10} is C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkynyl, C_3 - C_7 cycloalkyl, phenyl, benzyl or C-linked R^6 , said C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, $-OR^5$, $-OR^{12}$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6 ;

X is $-CH_2-$, $-CHR^{11}-$, $-CO-$, $-S-$, $-SO-$ or $-SO_2-$;

R^{11} is C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl or C_1 - C_6 alkoxy; and

R^{12} is C_1 - C_6 alkyl substituted by R^6 , $-OR^5$, $-CONR^5R^5$, $-NR^5COR^5$ or $-NR^5R^5$

or a compound of the formula (Ia)



or a pharmaceutically acceptable salt or solvate thereof, wherein:

R^1 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, halo, $-OR^5$, $-CO_2R^5$, $-CONR^5R^6$, $-OCONR^5R^6$, $-NR^5CO_2R^6$, $-NR^5R^6$, $-NR^5COR^6$, $-SO_2NR^5R^6$, $-NR^5CONR^6R^7$, $-NR^5SO_2R^6$ or R^8 , said C_1 - C_6 alkyl, phenyl and benzyl being optionally substituted by halo, $-OR^5$, $-CO_2R^5$, $-CONR^5R^6$, $-OCONR^5R^6$, $-NR^5CO_2R^6$, $-NR^5R^6$, $-NR^5COR^6$, $-SO_2NR^5R^6$, $-NR^5CONR^6R^7$, $-NR^5SO_2R^6$ or R^8 ;

R^2 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl or C-linked R^{12} , said C_1 - C_6 alkyl, phenyl and benzyl being optionally substituted by $-OR^9$, $-CO_2R^9$, $-CO_2NR^9R^{10}$, $-NR^9R^{10}$, $-NR^9COR^{10}$, $-NR^9CO_2R^{10}$, $-NR^9CONR^{10}R^{11}$, $-SO_2NR^9R^{10}$, $-NR^9SO_2R^{10}$ or R^{12} ; R^3 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, halo, $-OR^{13}$, $-CO_2R^{13}$, $-CONR^{13}R^{14}$, $-OCONR^{13}R^{14}$, $-NR^{13}CO_2R^{14}$, $-NR^{13}R^{14}$, $-NR^{13}COR^{14}$, $-SO_2NR^{13}R^{14}$, $-NR^{13}CONR^{14}R^{15}$, $-NR^{13}SO_2R^{14}$ or R^{16} , said C_1 - C_6 alkyl, phenyl and benzyl being optionally substituted by halo,

-OR¹³, -CO₂R¹³, -CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴,
-SO₂NR¹³R¹⁴, -NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶;

cont
R⁴ is phenyl or pyridyl, each being optionally substituted by halo, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

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R⁵, R⁶, R⁷, R⁹, R¹⁰, R¹¹, R¹³, R¹⁴ and R¹⁵ are either each H, C₁-C₆ alkyl or C₃-C₆ cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent piperidinyl, said being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;

R⁸, R¹² and R¹⁶ are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo; and

~~X is -CH₂-, -S-, -SO- or -SO₂- to a patient in need of such treatment --~~

The above amendments add no new matter to this application. Applicants respectfully request their entry.